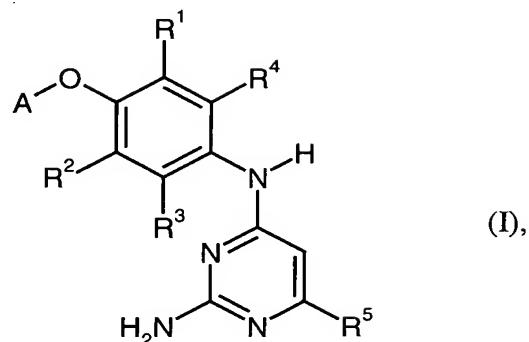


Claims

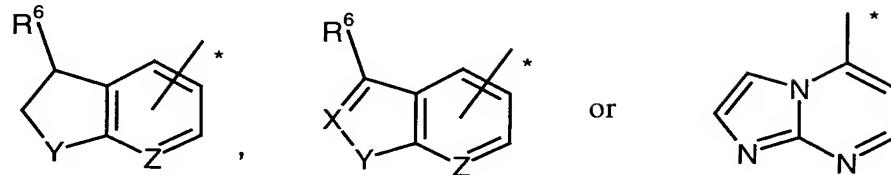
1. A compound of the formula



5

in which

A represents a radical



10

in which

X represents N or C-H,

15

Y represents N-R7, O or S

in which

20

R7 represents hydrogen, benzyl, phenyl, (C1-C6)-alkyl or (C3-C8)-cycloalkyl,

where alkyl and cycloalkyl for their part may be substituted by fluorine, hydroxyl, amino, carboxyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkylamino or morpholinyl,

5

Z represents N or C-H,

R⁶ represents hydrogen, halogen, trifluoromethyl, (C₁-C₆)-alkylamino or W-R⁷,

10

in which

W represents NH, O or a bond,

15

R⁷ is as defined above

and

* denotes the point of attachment to the phenolic oxygen,

20

R¹ and R² independently of one another represent hydrogen, halogen or cyano,

R³ and R⁴ independently of one another represent hydrogen, fluorine or chlorine,

25

R⁵ represents a radical selected from the group consisting of:

hydrogen, hydroxyl, halogen, trifluoromethyl,

30

(C₃-C₈)-cycloalkyl, (C₁-C₆)-alkyl, (C₁-C₆)-alkoxy,

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where cycloalkyl, alkyl and alkoxy for their part may be substituted by hydroxyl, carboxyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkoxycarbonyl, (C₆-C₁₀)-aryl, NR⁸R⁹ or C(=O)NR⁸R⁹,

5

in which

R⁸ and R⁹ independently of one another represent hydrogen, (C₁-C₈)-alkyl, optionally (C₁-C₆)-alkyl-substituted (C₃-C₆)-cycloalkyl, optionally halogen-substituted (C₆-C₁₀)-aryl or 5- to 10-membered heteroaryl

10

or

15

R⁸ and R⁹ together with the nitrogen atom to which they are attached form a 5- or 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by (C₁-C₆)-alkyl, (C₁-C₆)-alkanoyl or (C₁-C₆)-alkoxycarbonyl,

20

(C₆-C₁₀)-aryl, (C₆-C₁₀)-aryloxy, 5- to 10-membered heteroaryl, 5- to 10-membered heteroaryloxy, 5- to 10-membered heterocyclyl which is attached via a carbon atom,

25

where aryl, aryloxy, heteroaryl, heteroaryloxy and heterocyclyl for their part may be substituted by halogen, cyano, nitro, carboxyl, amino, trifluoromethyl, optionally hydroxyl-substituted (C₁-C₆)-alkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkylamino,

30

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(C₁-C₆)-alkanoyl, (C₁-C₆)-alkoxycarbonyl, (C₁-C₆)-alkanoylamino, (C₁-C₆)-alkoxycarbonylamino or 5- or 6-membered heterocyclyl,

5 NR¹⁰R¹¹

in which

R¹⁰ and R¹¹ independently of one another represent hydrogen,
10 (C₁-C₆)-alkyl, (C₃-C₈)-cycloalkyl, (C₆-C₁₀)-aryl or 5- to 10-membered heteroaryl,

where alkyl and cycloalkyl for their part may be substituted by hydroxyl, (C₁-C₆)-alkoxy, (C₆-C₁₀)-aryl, 15 5- to 10-membered heteroaryl or NR¹⁵R¹⁶,

in which

R¹⁵ and R¹⁶ independently of one another represent hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, (C₆-C₁₀)-aryl or 5- or 6-membered heteroaryl

or

25 R¹⁵ and R¹⁶ together with the nitrogen atom to which they are attached form a 5- or 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by (C₁-C₆)-alkyl, (C₁-C₆)-alkanoyl or (C₁-C₆)-alkoxycarbonyl,
30

and

5 aryl and heteroaryl for their part may be substituted by halogen, hydroxyl, amino, cyano, trifluoromethyl, (C₁-C₆)-alkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkylamino or (C₁-C₆)-alkanoylamino,

or

10

R^{10} and R^{11} together with the nitrogen atom to which they are attached form a 4- to 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by fluorine, hydroxyl, carboxyl, 5- to 7-membered heterocyclyl which may contain one or two further heteroatoms N and/or O in the ring and which for its part may be substituted by (C_1-C_4) -alkyl or (C_1-C_4) -alkoxycarbonyl, (C_1-C_4) -alkoxy, optionally hydroxyl-, (C_1-C_4) -alkoxy- or $NR^{17}R^{18}$ -substituted (C_1-C_4) -alkyl, (C_1-C_4) -alkanoyl, (C_1-C_4) -alkoxycarbonyl or $NR^{12}R^{13}$,

where

30 *op.*

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5

R^{12} and R^{13} together with the nitrogen atom to which they are attached form a 5- or 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by (C_1-C_6) -alkyl, (C_1-C_6) -alkanoyl or (C_1-C_6) -alkoxycarbonyl,

and

10

R^{17} and R^{18} independently of one another represent hydrogen, optionally hydroxyl-substituted (C_1-C_6) -alkyl, (C_3-C_6) -cycloalkyl, (C_6-C_{10}) -aryl or 5- or 6-membered heteroaryl

15

or

20

R^{17} and R^{18} together with the nitrogen atom to which they are attached form a 5- or 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by (C_1-C_6) -alkyl, (C_1-C_6) -alkanoyl or (C_1-C_6) -alkoxycarbonyl,

or

25

R^{10} and R^{11} together with the nitrogen atom to which they are attached form a 7- to 12-membered bicyclic or tricyclic heterocycle which is fused or spirocyclic and which may have one or two further heteroatoms from the group consisting of N and O in the ring and which may

30

be substituted by fluorine, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkanoyl or benzyl,

and C(=O)R¹⁴,

5

in which

R¹⁴ represents (C₁-C₆)-alkoxy, (C₁-C₆)-alkylamino or a 5- to 10-membered mono- or bicyclic heterocycle which is attached via a nitrogen atom, which is fused or spirocyclic and which may have one or two further heteroatoms from the group consisting of N and O in the ring,

10

15 where alkylamino for its part may be substituted by a 5- or 6-membered heterocycle,

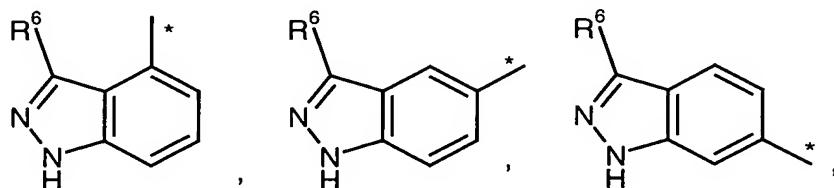
or a salt, a hydrate, a hydrate of a salt or a solvate thereof.

20 2. The compound as claimed in claim 1

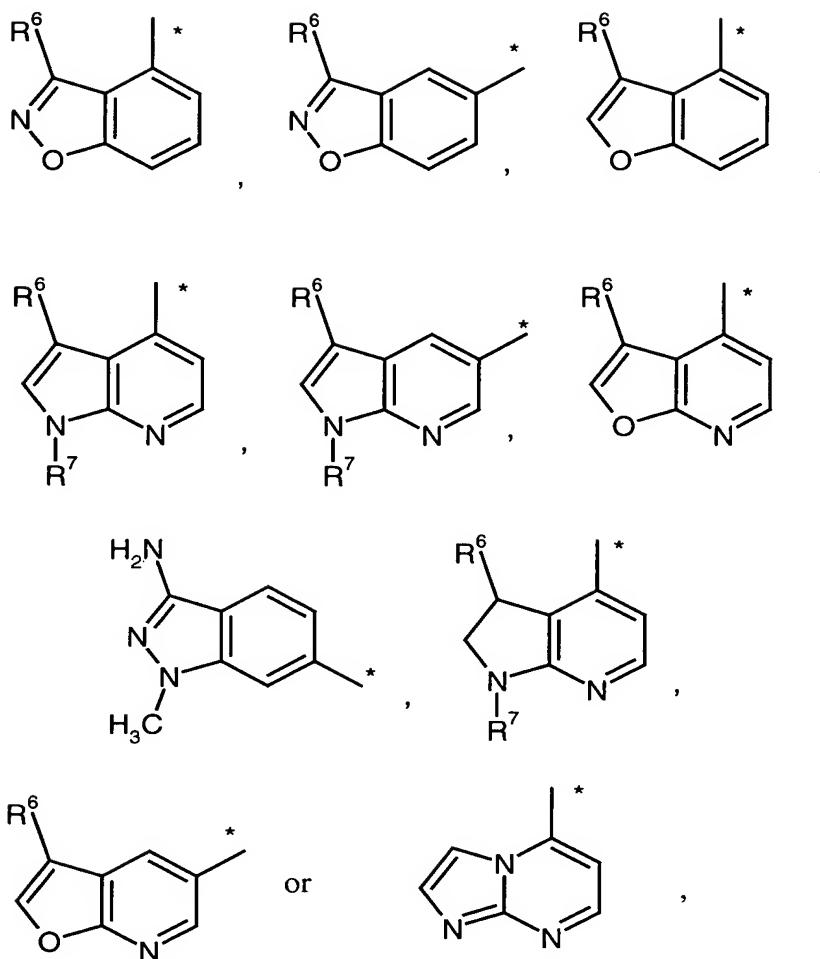
in which

A represents a radical

25



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5

in which

10 R^6 represents hydrogen, (C_1-C_4) -alkyl or $NH-R^7$,

15 R^7 represents hydrogen or (C_1-C_4) -alkyl

and

* denotes the point of attachment to the phenolic oxygen,

15

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R¹ and R² independently of one another represent hydrogen, fluorine or chlorine,

R³ and R⁴ independently of one another represent hydrogen or fluorine,

5

R⁵ represents a radical selected from the group consisting of:

hydrogen, chlorine, (C₃-C₈)-cycloalkyl, (C₁-C₆)-alkyl, (C₁-C₆)-alkoxy,

10

where alkyl and alkoxy for their part may be substituted by hydroxyl, carboxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkoxycarbonyl, NR⁸R⁹ or C(=O)NR⁸R⁹,

in which

15

R⁸ and R⁹ independently of one another represent hydrogen, (C₁-C₈)-alkyl, optionally (C₁-C₄)-alkyl-substituted (C₃-C₆)-cycloalkyl, optionally halogen-substituted phenyl or 5- or 6-membered heteroaryl

20

or

25

R⁸ and R⁹ together with the nitrogen atom to which they are attached form a morpholine, piperazine, piperidine or pyrrolidine ring, where the rings for their part may be substituted by (C₁-C₄)-alkyl,

(C₆-C₁₀)-aryl, 5- or 6-membered heteroaryl, 5- or 6-membered heterocyclyl which is attached via a carbon atom,

5 where aryl, heteroaryl and heterocyclyl for their part may be substituted by halogen, cyano, nitro, carboxyl, amino, trifluoromethyl, optionally hydroxyl-substituted (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkylamino, (C₁-C₄)-alkanoyl, (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkanoyl amino, (C₁-C₄)-alkoxy-carbonyl amino or 6-membered heterocyclyl,

10

 $NR^{10}R^{11}$

in which

15 R¹⁰ and R¹¹ independently of one another represent hydrogen, (C₁-C₆)-alkyl, (C₃-C₈)-cycloalkyl, phenyl or 5- or 6-membered heteroaryl,

20 where alkyl and cycloalkyl for their part may be substituted by hydroxyl, (C₁-C₄)-alkoxy, phenyl, 5- or 6-membered heteroaryl or NR¹⁵R¹⁶,

in which

25 R¹⁵ and R¹⁶ independently of one another represent hydrogen, (C₁-C₄)-alkyl, (C₃-C₆)-cycloalkyl, phenyl or 5- or 6-membered heteroaryl

30

or

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5

R^{15} and R^{16} together with the nitrogen atom to which they are attached form a morpholine, piperazine, piperidine or pyrrolidine ring, where the rings for their part may be substituted by (C_1 - C_4)-alkyl,

and

10

phenyl and heteroaryl for their part may be substituted by fluorine, chlorine, hydroxyl, amino, cyano, trifluoromethyl, (C_1 - C_4)-alkyl, (C_1 - C_4)-alkoxy, (C_1 - C_4)-alkylamino or (C_1 - C_4)-alkanoylamino,

15

or
15
 R^{10} and R^{11} together with the nitrogen atom to which they are attached form a 4- to 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by fluorine, hydroxyl, carboxyl, 5- to 7-membered heterocycl which may contain one or two further heteroatoms N and/or O in the ring and which for its part may be substituted by (C_1 - C_4)-alkyl or (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_4)-alkoxy, optionally hydroxyl-, (C_1 - C_4)-alkoxy- or $NR^{17}R^{18}$ -substituted (C_1 - C_4)-alkyl, (C_1 - C_4)-alkanoyl, (C_1 - C_4)-alkoxycarbonyl or $NR^{12}R^{13}$,

20

25

where

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R^{12} and R^{13} independently of one another represent hydrogen or (C_1 - C_4)-alkyl

or

5

R^{12} and R^{13} together with the nitrogen atom to which they are attached form a 5- or 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by (C_1 - C_6)-alkyl, (C_1 - C_6)-alkanoyl or (C_1 - C_6)-alkoxycarbonyl,

10

and

15

R^{17} and R^{18} independently of one another represent hydrogen, optionally hydroxyl-substituted (C_1 - C_4)-alkyl or phenyl

or

20

R^{17} and R^{18} together with the nitrogen atom to which they are attached form a pyrrolidine ring,

or

25

R^{10} and R^{11} together with the nitrogen atom to which they are attached form a 7- to 12-membered bicyclic or tricyclic heterocycle which is fused or spirocyclic, which may have one or two further heteroatoms from the group consisting of N and O in the ring and which may be

30

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substituted by (C₁-C₄)-alkyl, (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkanoyl or benzyl,

and C(=O)R¹⁴

5

in which

R¹⁴ represents (C₁-C₆)-alkoxy, (C₁-C₆)-alkylamino or a 5- to 10-membered mono- or bicyclic heterocycle which is attached via a nitrogen atom, which is fused or spirocyclic and which may have one or two further heteroatoms from the group consisting of N and O in the ring,

10

15 where alkylamino for its part may be substituted by a 5- or 6-membered heterocyclyl,

or a salt, a hydrate, a hydrate of a salt or a solvate thereof.

20 3. The compound as claimed in claim 1 or 2

in which

A represents a radical

25



or



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in which

R^6 represents hydrogen or methyl

5 and

* denotes the point of attachment to the phenolic oxygen,

10 R^1 and R^2 independently of one another represent hydrogen, fluorine or chlorine,

R^3 and R^4 represent hydrogen,

15 R^5 represents a radical selected from the group consisting of:

hydrogen, chlorine, cyclohexyl, (C_1 - C_4)-alkyl, (C_1 - C_4)-alkoxy,

20 where alkyl and alkoxy for their part may be substituted by hydroxyl, carboxyl, (C_1 - C_4)-alkoxy, methyloxycarbonyl, ethyloxycarbonyl, NR^8R^9 or $C(=O)NR^8R^9$,

in which

25 R^8 and R^9 independently of one another represent hydrogen, (C_1 - C_8)-alkyl, cyclopropyl, optionally methyl-substituted cyclopentyl or optionally fluorine-substituted phenyl

or

30

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R^8 and R^9 together with the nitrogen atom to which they are attached form a piperidine, 2-methylpiperidine or 2,6-dimethylpiperidine ring,

5 phenyl, pyridyl, pyrrolyl, piperidin-3-yl, piperidin-4-yl, pyrrolidin-2-yl,

10 where phenyl, pyridyl and pyrrolyl for their part may be substituted by fluorine, chlorine, bromine, cyano, nitro, trifluoromethyl, methyl, hydroxymethyl, methoxy, dimethylamino or morpholinyl,

and

15 piperidin-3-yl, piperidin-4-yl and pyrrolidin-2-yl for their part may be substituted by methyl, ethyl, n-propyl, isopropyl, methylcarbonyl or ethylcarbonyl,

$NR^{10}R^{11}$

20 in which

25 R^{10} and R^{11} independently of one another represent hydrogen, (C_1-C_4)-alkyl, 3-hydroxypropyl, 2-hydroxycyclohexyl, 2-aminocyclohexyl, phenyl, pyridyl or pyrazolyl,

where phenyl and pyridyl for their part may be substituted by chlorine, hydroxyl, amino, cyano, methyl or methoxy,

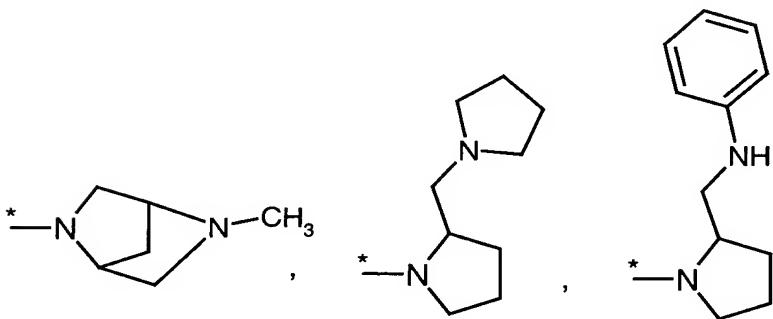
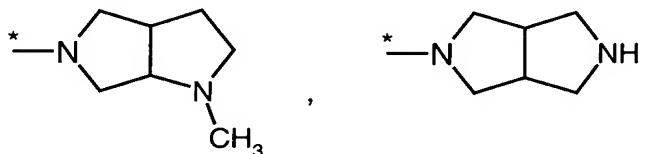
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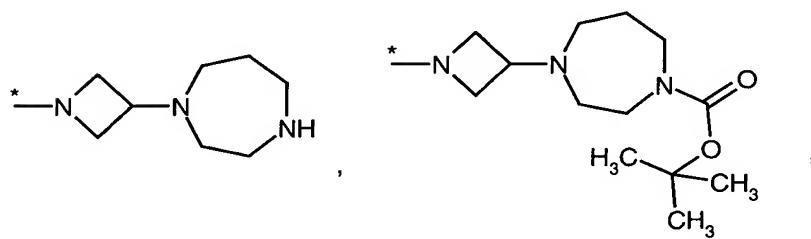
or

R^{10} and R^{11} together with the nitrogen atom to which they are attached form a piperazine, 3-methylpiperazine, 3,5-dimethylpiperazine, 4-isobutylpiperazine, morpholine, pyrrolidine, 3-aminopyrrolidine, 3-methylamino-pyrrolidine, 3-(*N,N*-dimethylamino)pyrrolidine, 2-aminomethylpyrrolidine, 3-hydroxypyrrrolidine, 2-hydroxymethylpyrrolidine or 2-methoxymethyl-pyrrolidine ring or a radical

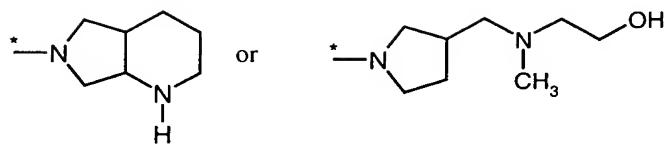
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10



15



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in which

5 * denotes the point of attachment to the pyrimidine ring,

and $\text{C}(=\text{O})\text{R}^{14}$

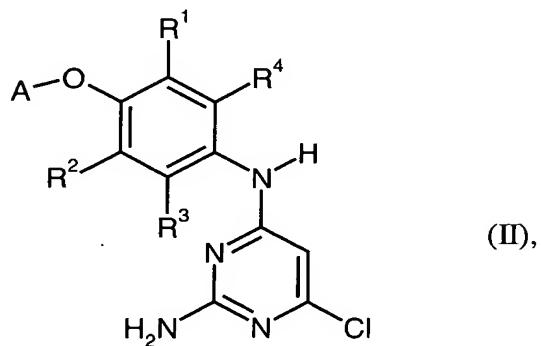
10 in which

R^{14} represents methoxy, piperidinyl-N-ethylamino, piperidinyl or piperazinyl,

15 or a salt, a hydrate, a hydrate of a salt or a solvate thereof.

4. A process for preparing compounds as defined in claim 1, characterized in that either

20 [A] compounds of the formula (II)



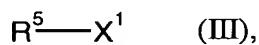
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in which

A, R¹, R², R³ and R⁴ are as defined in claim 1

5

are reacted with compounds of the formula (III)

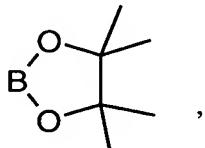


in which

10

R⁵ is as defined in claim 1 and

X¹ represents hydrogen, B(OH)₂ or a boronic acid ester such as

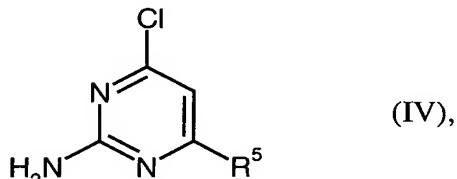


15

or

[B] compounds of the formula (IV)

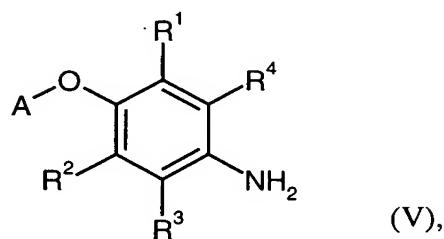
20



in which

R⁵ is as defined in claim 1

are reacted with compounds of the formula (V)



5 in which

A, R¹, R², R³ and R⁴ are as defined in claim 1.

- 10 5. The compound as defined in any of claims 1 to 3 for the treatment and/or prophylaxis of disorders.
6. The use of a compound as defined in any of claims 1 to 3 for preparing medicaments for the treatment and/or prophylaxis of cardiovascular disorders.
- 15 7. The use of a compound as defined in any of claims 1 to 3 for preparing medicaments for the treatment and/or prophylaxis of erectile dysfunction.
8. A method for the treatment and/or prophylaxis of cardiovascular disorders wherein a cardiovascularly effective amount of a compound as defined in any 20 of claims 1 to 3 is used.
9. A medicament, comprising a compound as defined in any of claims 1 to 3 and a further active compound.
- 25 10. A medicament comprising a compound as defined in any of claims 1 to 3 in combination with an inert nontoxic pharmaceutically acceptable auxiliary.